WEST Search History

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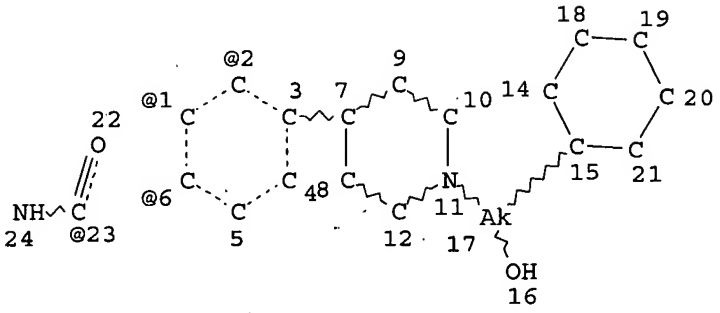
DATE: Thursday, April 26, 2007

Hide?	Set Name	Query	Hit Count
		DB=USPT; PLUR=YES; OP=ADJ	
☐	L2	L1 and opioid$7	75
☐	L1	514/317.ccls. or 546/237.ccls.	1217

END OF SEARCH HISTORY

=> d l1
L1 HAS NO ANSWERS
L1 STR



VPA 23-2/1/6 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 15 11 3
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

=> s l1 ful
FULL SEARCH INITIATED 07:45:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22514 TO ITERATE

100.0% PROCESSED 22514 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.45	173.66

FILE 'CAPLUS' ENTERED AT 07:46:03 ON 05 MAR 2007
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FILE COVERS 1907 - 5 Mar 2007 VOL 146 ISS 11
FILE LAST UPDATED: 4 Mar 2007 (20070304/ED)

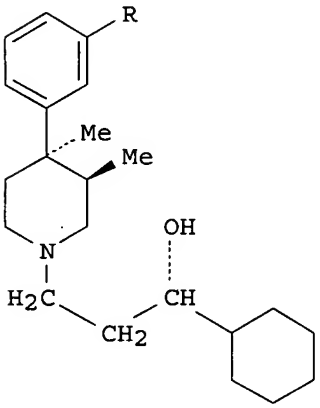
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 2 L3

=> d bib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:708470 CAPLUS
DN 143:221840
TI SAR and biological evaluation of novel trans-3,4-dimethyl-4-arylpiperidine derivatives as opioid antagonists
AU Diaz, Nuria; Benvenga, Mark; Emmerson, Paul; Favors, Ryan; Mangold, Michael; McKinzie, Jamie; Patel, Nita; Peters, Steven; Quimby, Steven; Shannon, Harlan; Siegel, Miles; Statnick, Michael; Thomas, Elizabeth; Woodland, Joseph; Surface, Peggy; Mitch, Charles
CS Discovery Research, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(17), 3844-3848
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:221840
GI

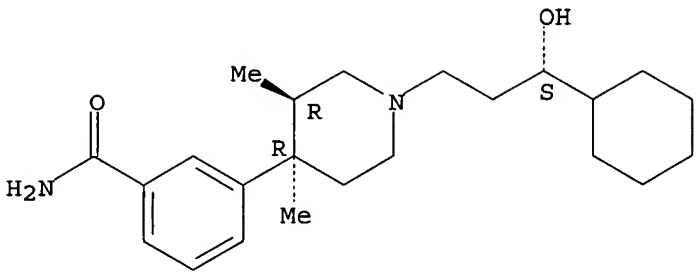


I

AB The phenolic hydroxy group of opiate-derived ligands is of known importance for biol. activity. We have developed a SAR study around LY255582 (I; R = OH) by comparing the effect of the hydroxy group in the 2- and 4-position of the Ph ring. Also, we have proved that the 3-position of the Ph ring is optimal for opioid activity. Furthermore, we have successfully replaced the hydroxy group in I by carbamate and carboxamide groups. The new analogs have high affinity for the opioid receptors comparable to the corresponding phenol. Carboxamide analog I (R = CONH2) has an improved metabolism profile and proved to be efficacious in in vivo studies.
IT 862911-50-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(trans-3,4-dimethyl-4-arylpiperidine derivs. as opioid antagonists)
RN 862911-50-0 CAPLUS
CN Benzamide, 3-[(3R,4R)-1-[(3S)-3-cyclohexyl-3-hydroxypropyl]-3,4-dimethyl-4-

piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:972056 CAPLUS
DN 140:4966
TI Preparation of 3-[1-(3-cyclohexyl-3-hydroxypropyl)-3,4-dimethylpiperidin-4-yl]benzamide as opioid receptor antagonists
IN Mitch, Charles Howard; Quimby, Steven James
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003101963	A1	20031211	WO 2003-US14540	20030522
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003239389	A1	20031219	AU 2003-239389	20030522
	EP 1513813	A1	20050316	EP 2003-733973	20030522
	EP 1513813	B1	20051102		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	AT 308522	T	20051115	AT 2003-733973	20030522
	ES 2249722	T3	20060401	ES 2003-3733973	20030522
	US 2005222204	A1	20051006	US 2004-510272	20041005
PRAI	US 2002-384603P	P	20020530		
	WO 2003-US14540	W	20030522		

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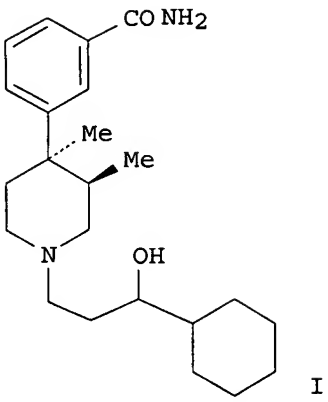


I

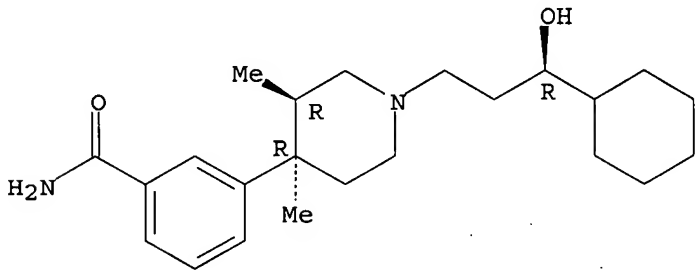
AB A compound of the formula (I), or a pharmaceutically acceptable salt, enantiomer, racemate, diastereomers or mixts. thereof, or a solvate thereof, formulations and methods of use thereof are disclosed. Thus, (+)-I was prepared in 3 steps from α-cyclohexyl-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinepropanol (II) and showed opioid receptor antagonist activity with comparable potency compared to II. Formulations are given.

IT 628727-02-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[1-(3-cyclohexyl-3-hydroxypropyl)-3,4-dimethylpiperidin-4-yl]benzamide as opioid receptor antagonist)

RN 628727-02-6 CAPLUS

CN Benzamide, 3-[(3R,4R)-1-[(3R)-3-cyclohexyl-3-hydroxypropyl]-3,4-dimethyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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